Pure 1-aminomethyl-cycloalkane-acetic acids - with low lactam content, useful as cerebral medicaments

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Patent Family

Patent Number	Kind	Date	Application Number	Kind	Date	Week	Type
EP 414263	A	19910227	EP 90116265	A	19900824	199109	B
DE 3928183	A	19910228	DE 3928183	A	19890825	199110	
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Patent Details

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DE 59007550	G			C07C-229/28	Based on patent EP 414263
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IE 65291	B			C07C-229/28	
US 6054482	A			A01N-037/12	Cont of application US 90570500
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					Cont of application US 9320270
JP 2001058976	A		6	C07C-227/22	Div ex application JP 90221422
JP 3148223	B2		6	A61K-031/195	Previous Publ. patent JP 3090053
JP 3261123	B2		6	C07C-227/22	Div ex application JP 90221422
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Abstract:
EP 414263 A

Cyclic amino acids of formula (I) contg less than 0.5 wt% of the corresp lactam of formula (II) are claimed. n = 4-6.

USE/ADVANTAGE - (I) are useful for treating certain cerebral disorders, eg certain forms of epilepsy, vertigo, hypokinesia and cranial trauma, and for improving cerebral function, esp in geriatric medicine. Preventing formation of (II) during prodn and storage of (I) minimises the toxic effects of (II).

Pure (I) are prepd by hydrolysing crude (I) or (II) with a semi-concd mineral acid, followed by ion exchange to remove the acid anion. The acid is esp HCl. Formation of (II) during storage of pharmaceutical compsns contg (I) is minimised by using excipients selected from hydroxypropyl methylcellulose, polyvinylpyrrolidone, 'Crospovidone', 'Poloxamer 407', 'Poloxomer 188', starch glycolate Na, lactose, 'Copolyvidone', corn starch, cyclodextrin, talc, and copolymers of dimethylaminomethacrylic acid and/or neutral methacrylate esters. (8pp Dwg.No.0/0)

EP 414263 B

Process for stabilising a pharmaceutical preparation, in solid form, of cyclic amino acids of the general formula (I) in which n represents the numbers 4 to 6, characterised in that an underived amino acid VII, which has at the most 0.3% by weight lactam of the general formula (II) in which n represents the numbers 4 to 6, and a portion of mineral acid of no more than 20 ppm, converts to a solid pharmaceutical preparation with an addition of hydroxypropyl methylcellulose, polyvinylpyrrolidone, crospovidone, poloxamer 407, poloxamer 188, sodium starch glycolate, lactose, copolyvidone, maize starch, cyclodextrin, talcum, copolymers of dimethylamino-methacrylic acid, and/or neutral methacrylic acid esters.

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